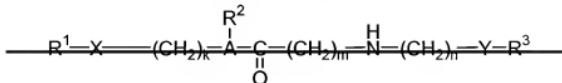
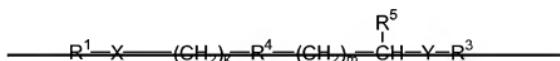


Amendments to the Specification

1. Please amend page 12, lines 1-4 of the original specification as follows:



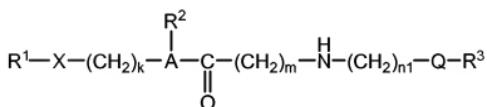
—1—



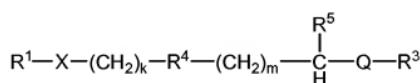
—2—



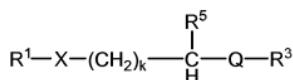
—3—



1



2



3

2. Please amend page 12, lines 5-19 as follows:

wherein: R^1 is the biologically active compound; X is a linkage formed between a functional group on the biologically active compound and a terminal functional group on the linking moiety; $[[Y]] Q$ is a linkage formed from a functional group on the transport moiety and a functional group on the linking moiety; A is N or CH; R^2 is hydrogen, alkyl, aryl, arylalkyl, acyl or allyl; R^3 is the transport moiety; R^4 is S, O, NR^6 or CR^7R^8 ; R^5 is H, OH, SH or NHR^6 ; R^6 is hydrogen, alkyl, aryl, acyl or allyl; R^7 and R^8 are independently hydrogen or alkyl; k and m are independently either 1 or 2; and $[[n]] n_1$ is an integer ranging from 1 to 10. Non-limiting examples of the X and $[[Y]] Q$ linkages are (in either orientation): $-C(O)O-$, $-C(O)NH-$, $-OC(O)NH-$, $-S-S-$, $-C(S)O-$, $-C(S)NH-$, $-NHC(O)NH-$, $-SO_2NH-$, $-SONH-$, phosphate, phosphonate and phosphinate. One of skill in the art will appreciate that when the biological agent has a hydroxy functional group, then X will preferably be $-OC(O)-$ or $-OC(O)NH-$. Similarly, when the linking group is attached to an amino terminus of the transport moiety, $[[Y]] Q$ will preferably be $-C(O)NH-$, $-NHC(O)NH-$, $-SO_2NH-$, $-SONH-$ or $-OC(O)NH-$ and the like. In each of the groups provided above, NH is shown for brevity, but each of the linkages (X and $[[Y]] Q$) can contain substituted (e.g., N-alkyl or N-acyl) linkages as well.

3. Please amend page 13, lines 17-18 as follows:

Accordingly, for structure 1, the following substituents are preferred: A is N; R^2 is benzyl; k, m and $[[n]] n_1$ are 1; X is $-OC(O)-$ and $[[Y]] Q$ is $-C(O)NH-$.

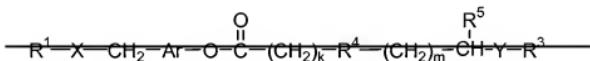
4. Please amend page 14, lines 5-10 as follows:

Accordingly, in one group of preferred embodiments, the conjugate is represented by formula 2, in which X is $-OC(O)-$; $[[Y]] Q$ is $-C(O)NH-$; R^4 is S; R^5 is NHR^6 ; and the subscripts k and m are each 1. In another group of preferred embodiments, the conjugate is represented by formula 2, in which X is $-OC(O)-$; $[[Y]] Q$ is $-NHC(O)-$; R^4 is S; R^5 is $CONH_2$; and the subscripts k and m are each 1. Particularly preferred conjugates are those in which R^6 is hydrogen, methyl, allyl, butyl or phenyl.

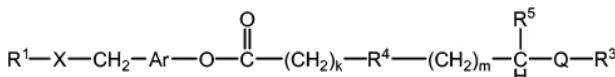
5. Please amend page 14, lines 15-16 as follows:

For structure 3, the following substituents are preferred: R⁵ is NHR⁶, wherein R⁶ is hydrogen, methyl, allyl, butyl or phenyl; k is 2; X is -C(O)O-; and [[Y]] Q is -C(O)NH-.

6. Please amend page 15, lines 7 as follows:



—4—



4

7. Please amend page 15, lines 22-25 as follows:

Preferably, the linking groups used in the conjugates of formula 4, are those in which Ar is an substituted or unsubstituted phenylene group; R⁴ is S; R⁵ is NHR⁶, wherein R⁶ is hydrogen, methyl, allyl, butyl, acetyl or phenyl; k and m are 1; X is -C(O)O-; and Y is -C(O)O- or -C(O)NH-. More preferably, R⁶ is hydrogen or acetyl.

8. Please amend page 18, lines 9-19 as follows:

Still other suitable linkers are illustrated in Figure 5E of PCT application US00/23440 (Publication No. WO 01/13957). In the approach provided therein, a delivery-enhancing transporter is linked to a biologically active agent, *e.g.*, paclitaxel, by an aminoalkyl carboxylic acid. Preferably, the linker amino group is linked to the linker carboxyl carbon by

from 3 to 5 chain atoms ($[[n]]\ n1 = 3$ to 5), preferably either 3 or 4 chain atoms, which are preferably provided as methylene carbons. As seen in Figure 5E, the linker amino group is joined to the delivery-enhancing transporter by an amide linkage, and is joined to the paclitaxel moiety by an ester linkage. Enzymatic cleavage of the amide linkage releases the delivery-enhancing transporter and produces a free nucleophilic amino group. The free amino group can then react intramolecularly with the ester group to release the linker from the paclitaxel.